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L3 10 S L1 SSS FULL

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L4 1 S L3

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L5 ·0 S L3

L6 7 S L3 SSS FULL

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L7 7 S L6

L8 7 S L7 NOT L4

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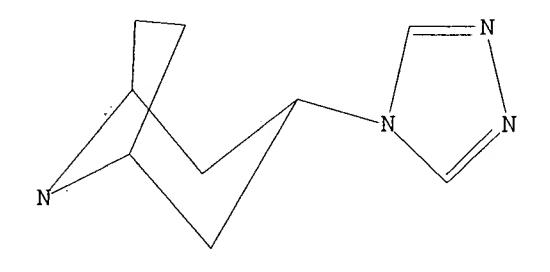
L9 0 S L1

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L1 HAS NO ANSWERS

L1 STR



=> d fbib abs hitstr

ANSWER 1 OF 1 CAPLUS COPYRIGHT 2001 ACS L4

AN 2001:868452 CAPLUS

Preparation of therapeutic tropane derivatives TI

Perros, Manoussos; Price, David Anthony; Stammen, Blanda Luzia Christa; IN Wood, Anthony

Pfizer Limited, UK; Pfizer Inc. PA

PCT Int. Appl., 79 pp. SO

CODEN: PIXXD2

DTPatent

English LA

FAN.CNT 1

ran.		rent :	NO.		KI	ND	DATE			A	PPLI	CATI	ON NO	ο.	DATE			
ΡI	WO	2001	0901	06	 A:	2	2001	1129		W(20	01-I	 В806	-	2001	0509		
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	GB 2000-14046 A 20000526								0526									
										G)	В 20	00-1	5835	Α	2000	0627		

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The tropanes I (R1 = C3-6 cycloalkyl optionally substituted by one or more AB fluorine atoms, C1-6 alkyl optionally substituted by one or more fluorine atoms, C3-6 cycloalkylmethyl optionally ring-substituted by one or more fluorine atoms; R2 = Ph optionally substituted by one or more fluorine atoms) and their pharmaceutically acceptable salts and solvates were Thus, (1S)-3-[3-(3-isopropyl-5-methyl-4H-1,2,4-triazol-4-yl)-exo-8azabicyclo[3.2.1]oct-8-yl]-1-phenyl-1-propanamine, prepn. given, was treated with cyclobutanecarboxylic acid in presence of polymer bound N-benzyl-N'-cyclohexylcarbodiimide to give I (R1 = cyclobutyl, R2 = Ph). I had an IC50 value of less than 10nM in the assay for CCR5 binding.

IT376348-65-1P

> RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of tropane derivs. as CCR5 receptor antagonists)

Ι

376348-65-1 CAPLUS RN

CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

IT 376348-62-8P 376348-63-9P 376348-64-0P 376348-66-2P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of tropane derivs. as CCR5 receptor antagonists)

RN 376348-62-8 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry. Rotation (-).

RN 376348-63-9 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry. Rotation (-).

RN 376348-64-0 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry. Rotation (-).

RN 376348-66-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

IT 376348-71-9

RL: RCT (Reactant); RACT (Reactant or reagent) (prepn. of tropane derivs. as CCR5 receptor antagonists)

RN 376348-71-9 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

IT 376348-70-8P 376348-72-0P 376348-73-1P 376348-80-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of tropane derivs. as CCR5 receptor antagonists)

RN 376348-70-8 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

RN 376348-72-0 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

RN 376348-73-1 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

RN 376348-80-0 CAPLUS CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

PATENT NO.

PΙ

=> d 1-7 fbib abs ANSWER 1 OF 7 CAPLUS COPYRIGHT 2001 ACS $rac{1}{8}$ 2000:456881 CAPLUS AN 133:89523 DN Preparation of acylaminophenylpropylbenzimidazolylazabicycloalkanes and TI related compounds as CCR5 receptor modulators. Armour, Duncan Robert; Price, David Anthony; Stammen, Blanda Luzia IN Christa; Wood, Anthony; Perros, Manoussos; Edwards, Martin Paul Pfizer Ltd., UK; Pfizer, Inc. PA ' PCT Int. Appl., 205 pp. SO CODEN: PIXXD2 DT Patent LA English FAN.CNT 3 APPLICATION NO. PATENT NO. KIND DATE DATE 20000706 WO 2000038680 WO 1999-IB2048 19991223 PIA1 AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG GB 1998-28420 A 19981223 GB 1999-21375 A 19990910 20011010 EP 1999-959624 EP 1140085 A1 19991223 AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO GB 1998-28420 A 19981223 GB 1999-21375 A 19990910 WO 1999-IB2048 W 19991223 BR 9917007 A 20011030 BR 1999-17007 19991223 GB 1998-28420 A 19981223 GB 1999-21375 A 19990910 WO 1999-IB2048 W 19991223 NO 2001003183 A 20010808 NO 2001-3183 20010625 GB 1998-28420 A 19981223 GB 1999-21375 A 19990910 WO 1999-IB2048 W 19991223 PATENT FAMILY INFORMATION: 2000:441322 FAN PATENT NO. KIND DATE APPLICATION NO. DATE EP 1013276 A1 20000628 EP 1999-309589 19991130 PΙ R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO GB 1998-28420 A 19981223 GB 1999-22702 A 19990925 JP 2000212159 A2 20000802 JP 1999-363578 19991222 GB 1998-28420 A 19981223 GB 1999-22702 A 19990925 FAN 2000:457066

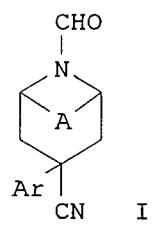
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WO 2000039125 A1 20000706 WO 1999-IB1913 19991201

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    MARPAT 133:89523
OS
     RaRbRcRd [Ra = specified (substituted) arylheterocyclyl, amidoaryl,
AΒ
     amidoheterocyclyl; Rb = specified (substituted) Et bridging unit; Rc =
     specified (substituted) azabicyclyl; Rd = specified (substituted)
     imidazolyl, pyrazolyl, heterocyclyl, amide, carbamate, urea moiety], were
     prepd. as CCR5 receptor modulators (no data). Thus, N-(3-oxo-1-
     phenylpropyl)cyclobutanecarboxamide (prepn. given), exo-1-(8-
     azabicyclo[3.2.1]oct-3-yl)-2-methyl-1H-benzimidazole (prepn. given), and
     Na(AcO)3BH were stirred 24 h in CH2Cl2/HOAc to give N-[3-[3-exo-(2-methyl-
     1H-benzimidazol-1-yl)-8-azabicyclo[3.2.1]oct-8-yl]-1-
     phenylpropyl]cyclobutanecarboxamide dihydrochloride.
RE.CNT 13
RE
(1) F Hoffmann-La Roche Ag; EP 0903349 A 1999 CAPLUS
(2) Leukosite Inc; WO 9802151 A 1998 CAPLUS
(3) Leukosite Inc; WO 9937617 A 1999 CAPLUS
(4) Leukosite Inc; WO 9937619 A 1999 CAPLUS
(5) Merck & Co Inc; WO 9825604 A 1998 CAPLUS
ALL CITATIONS AVAILABLE IN THE RE FORMAT
\Gamma8
    ANSWER 2 OF 7 CAPLUS COPYRIGHT 2001 ACS
     1999:354393 CAPLUS
AN
DN
     130:348561
\mathtt{TI}
     Preparation of bicyclic amines as insecticides
     Salmon, Roger; Urch, Christopher John
IN
     Zeneca Limited, UK
PA
     PCT Int. Appl., 26 pp.
SO
     CODEN: PIXXD2
\operatorname{DT}
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                                      APPLICATION NO. DATE
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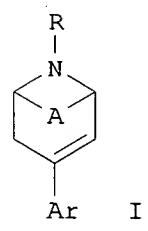
OS:

GΙ

The bicyclic amines I [A = XC:CY or XCHCHY; X, Y = H, OH, acyloxy, alkoxy, cyano or halo; Ar = (un)substituted Ph or heteroaryl; when A = CH2CH2, then Ar is neither 5-chloropyrid-3-yl nor 5-trifluoromethylpyrid-3-yl] and acid addn. salts, quaternary ammonium salts or N-oxides of I are prepd. ad insecticides, acaricides or nematocides.

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RE.CNT 3
RE
(1) Zeneca; GB 2301819 A 1996 CAPLUS
(2) Zeneca; WO 9637494 A 1996 CAPLUS
(3) Zeneca; WO 9743286 A 1997 CAPLUS
L8
    ANSWER 3 OF 7 CAPLUS COPYRIGHT 2001 ACS
AN
     1998:708819 CAPLUS
DN
     129:316150
TI
     Preparation of bicyclic amine derivatives as pesticides
     Godfrey, Christopher Richard Ayles; Salmon, Roger; Russell, Charles Adam
IN
     Zeneca Ltd., UK
PA
SO
     PCT Int. Appl., 31 pp.
     CODEN: PIXXD2
DT
     Patent
LA
     English
FAN.CNT 1
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OS
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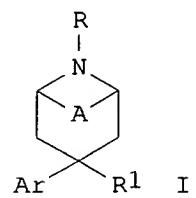
GΙ

The title compds. [I; A = WXCCYZ, XC:CY; Ar = (un)substituted Ph, AB (un) substituted 5- or 6-membered unsatd., (benzo-fused) heterocyclyl with 1-3 N, O, S; R = H, CHO, cyano, (un) substituted C1-15 alkyl, aryl, aralkyl, (hetero)aryl, (aryl)alkenyl, etc., a proviso is given; W, X, Y, Z = H, OH, acyloxy, alkoxy, alkylsilyloxy, cyano, halo], useful as insecticides, acaricides and nematocides, were prepd. by dehydration of the parent aryl heterocyclyl alcs. For example, adding a THF soln. of 8-(2,2,2-trifluoroethyl)-8-azabicyclo[3.2.1]octan-3-one to lithiated 3.5-dibromopyridine in THF at -78.degree. and stirring the mixt. for 2 h at -60.degree. gave exo-3-(5-bromopyrid-3-yl)-endo-3-hydroxy-8-(2,2,2trifluoroethyl)-8-azabicyclo[3.2.1]octane. This was dissolved in CH2Cl2, stirred with Et3N and MeSO2Cl under N for 1 h at 0.degree. and allowed to react at ambient temp. for .apprx.3 days to give a title compd. 3-(5-bromopyrid-3-yl)-8-(2,2,2-trifluoroethyl)-8-azabicyclo[3.2.1]oct-2-The latter at 500 ppm gave 80-100% kill in a test against Tetranychus urticae. An emulsifiable conc., wettable powder, dusting powder, concd. liq., capsule suspension, aq. suspension conc. and H2O-dispersible granule formulation contg. 3-(6-chloropyrid-3-yl)-8-methyl-8-azabicyclo[3.2.1]oct-2-ene were given.

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1998:402440 CAPLUS
AN
DN
     129:67708
    Preparation of 8-azabicyclo[3.2.1]octane, 8-azabicyclo[3.2.1]oct-6-ene,
TI
     9-azabicyclo[3.3.1] nonane, 9-aza-3-oxabicyclo[3.3.1] nonane, and
     9-aza-3-thiabicyclo[3.3.1] nonane derivatives as insecticides
    Urch, Christopher John; Lewis, Terence; Sunley, Raymond Leo; Salmon,
IN
    Raymond; Godfrey, Christopher Richard Ayles; Brightwell, Christopher Ian;
    et al.
    Zeneca Ltd., UK; Urch, Christopher John; Lewis, Terence; Sunley, Raymond
PA
    Leo; Salmon, Raymond
    PCT Int. Appl., 65 pp.
SO
    CODEN: PIXXD2
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															1996			
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	IIS	6093	726		А		2000	7725							1997: 1997:			
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	US	6174	894		В	1	2001	0116					5774		19990	•		
										GE	3 199	96-2	4611	А	1996	1126		
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	US	6291	474		B	L	2001	0918		US	200	00-6	3587	9	20000	0810		
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os	MAF	RPAT :	129:6	57708	3								.		(1		

GI



Compds. of formula [I; A = a bidentate group of the formula CH2XCH2 AB (wherein X = methylene, O, or S), X'C:CY or X'WCCYZ (wherein X', W, Y, Z =H, OH, acyloxy, alkoxy, alkylsilyloxy, cyano or halogen, or X' and W or Y and Z together with the carbon to which they are attached form a carbonyl group), provided that A .noteq. CH2CH2; Ar = optionally substituted Ph or 5- or 6-membered heterocyclic ring system contg. from 1 to 3 heteroatoms individually selected from N, O and S atoms, and at least one unsatn. (double bond) between adjacent atoms in the ring, said heterocyclic ring being optionally fused to a benzene ring; R = H or cyano or a group selected from alkyl, aryl, heteroaryl, aralkyl, heteroarylalkyl, alkenyl, aralkenyl, alkynyl, alkoxycarbonyl, alkanesulfonyl, arenesulfonyl, alkenyloxycarbonyl, aralkyloxycarbonyl, aryloxycarbonyl, heterocyclylalkyl, carbamoyl, dithiocarboxyl, etc.; R1 = H, cyano, HO, alkyl, alkoxy, NH2, NO2, isocyanato, acylamino, hydroxyalkyl, optionally substituted heteroaryl, alkoxyalkyl, haloalkyl, halohydroxyalkyl, etc.; alkyl moieties of R comprise from 1 to 15 carbon atoms, and are optionally substituted with one or more substituents selected from, halogen, cyano, carboxyl, carboxyl acyl, etc.] or an acid addn. salt, quaternary ammonium salt or N-oxide derived therefrom are prepd. Also claimed are an insecticidal, acaricidal or nematicidal compn. comprising a compd. of formula I and a suitable carrier or diluent therefor and a method of combating and controlling insect, acarid or nematode pests at a locus which comprises treating the pests or the locus of the pests with an effective amt. of a compd. of formula I or a compn. as hereinbefore described. Thus, exo-3-cyano-9-methyl-9-azabicyclo[3.3.1] nonane and 3,5-dichloropyridine (prepn. given) in THF were treated dropwise with lithium bis(trimethylsilyl)amide, and the reaction mixt. was allowed to react ambient temp. for 18 h to give I [A = (CH2)3, Ar =exo-5-chloropyridyl, R = Me, R1 = endo-cyano], which at 500 ppm showed 80-100% mortality against peach aphid (Myzus persicae).

L8 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2001 ACS

AN 1998:402439 CAPLUS

DN 129:67707

TI Preparation of 8-azabicyclo[3.2.1]octane derivatives as insecticides, acaricides, and nematocides.

IN Urch, Christopher John; Lewis, Terence; Sunley, Raymond Leo; Salmon, Roger; Godfrey, Christopher Richard Ayles; Brightwell, Christopher Ian

PA Zeneca Ltd., UK; Urch, Christopher John; Lewis, Terence; Sunley, Raymond Leo; Salmon, Roger; Godfrey, Christopher Richard Ayles; Brightwell, Christopher Ian

SO PCT Int. Appl., 53 pp. CODEN: PIXXD2

DT Patent

LA English

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FAN.CNT 2
                                          APPLICATION NO.
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PATENT FAMILY INFORMATION:
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R N Ar R¹ I

OS GI

US 5849754

Title compds. [I; Ar = (substituted) Ph, 5- or 6-membered heterocyclyl; R AB = H, cyano, alkyl, aryl, heteroaryl, aralkyl, heteroarylalkyl, alkenyl, aralkenyl, alkynyl, alkoxycarbonyl, alkanesulfonyl, arenesulfonyl, alkenyloxycarbonyl, aralkyloxycarbonyl, aryloxycarbonyl, heterocyclylalkyl, carbamyl, dithiocarboxyl, etc.; R1 = H, OH, alkyl, alkoxy, amino, NO2, isocyanato, acylamino, hydroxyalkyl, (substituted) heteroaryl, alkoxyalkyl, etc.; with provisos], were prepd. 2,5-dimethoxytetrahydrofuran, 2,2,2-trifluoroethylamine hydrochloride, acetonedicarboxylic acid, and NaOAc were stirred 2 days in H2O contq. HCl to give 8-(2,2,2-trifluoroethyl)-8-azabicyclo[3.2.1]octan-3-one. This was treated with tosylmethyl isocyanide in 1,2-dimethoxyethane/ethanol to give exo-3-cyano-8-(2,2,2-trifluoroethyl)-8-azabicyclo[3.2.1]octane. latter in THF was treated with LDA and 3,5-dichloropyridine at -25.degree. to room temp. and the product was reduced with LiAlH4 in Et20 at -10.degree. to give exo-3-(5-chloropyrid-3-yl)-endo-3-formyl-8-(2,2,2trifluoroethyl)-8-azabicyclo[3.2.1]octane. The latter at 500 ppm on cabbage leaves gave 80-100% kill of Myzus persicae. ANSWER 6 OF 7 CAPLUS COPYRIGHT 2001 ACS r_8 AN 1998:352836 CAPLUS DN129:27892 Preparation and insecticidal, acaricidal, and nematocidal activities of ${
m TI}$ bicyclic amine derivatives Urch, Christopher John; Lewis, Terence; Sunley, Raymond Leo INZeneca Ltd., UK; Urch, Christopher John; Lewis, Terence; Sunley, Raymond PALeo PCT Int. Appl., 32 pp. SO CODEN: PIXXD2 Patent DTEnglish LА FAN.CNT 1 APPLICATION NO. DATE PATENT NO. KIND DATE WO 9822463 A1 19980528 ΡI WO 1997-GB2990 19971030 AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG GB 1996-24114 A 19961120 19980610 AU 9747893 A1 AU 1997-47893 19971030 GB 1996-24114 A 19961120 WO 1997-GB2990 W 19971030 EP 942909 EP 1997-910547 A1 19990922 19971030 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, NL, SE, PT, IE, FI GB 1996-24114 A 19961120 WO 1997-GB2990 W 19971030 CN 1237973 19991208 Α CN 1997-199806 19971030 GB 1996-24114 A 19961120 BR 9713120 Α 20000411 BR 1997-13120 19971030 GB 1996-24114 A 19961120 WO 1997-GB2990 W 19971030 JP 2001504477 T2 20010403 JP 1998-523305 19971030

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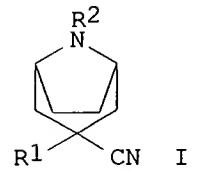
19971113

US 1997-969634

KR 2000057147 A 20000915 KR 1999-704421 19990519 GB 1996-24114 A 19961120

OS MARPAT 129:27892

GI



AB Bicyclic amine derivs. I [R1 = optionally substituted 5-membered heterocyclic ring system contg. from 1 to 3 heteroatoms individually selected from nitrogen, oxygen and sulfur atoms, and at least one unsatn. (double bond) between adjacent atoms in the ring; R2 = hydrogen, cyano alkyl, aryl, heteroaryl, aralkyl, heteroarylalkyl, alkenyl, aralkenyl, alkynyl, alkoxycarbonyl, alkanesulfonyl, arenesulfonyl, alkenyloxycarbonyl, aralkyloxycarbonyl, aryloxycarbonyl, heterocyclylalkyl, carbamyl, dithiocarboxyl, XR3 (X = oxygen, NR4); R3, R4 = hydrogen, alkyl, aryl, heteroaryl, aralkyl, heteroarylalkyl, alkenyl, aralkenyl, alkynyl, heterocyclylalkyl, alkoxycarbonyl or carboxylic acyl], useful as insecticides, acaricides, and nematocides, were prepd.

L8 ANSWER 7 OF 7 CAPLUS COPYRIGHT 2001 ACS

AN 1997:307688 CAPLUS

DN 126:277402

TI New 4-aryl-3-aralkoxypiperidines and -azabicylooctanes for treating heart and kidney insufficiency

IN Binggeli, Alfred; Breu, Volker; Bur, Daniel; Fischli, Walter; Gueller, Rolf; Hirth, Georges; Maerki, Hans-Peter; Mueller, Marcel; Oefner, Christian; Stadler, Heinz; Vieira, Eric; Wilhelm, Maurice; Wostl, Wolfgang

PA F. Hoffmann-La Roche Ag, Switz.

SO PCT Int. Appl., 492 pp.

CODEN: PIXXD2
DT Patent

LA German

FAN CNT 1

FAN.		TENT NO.	KIND	DATE		APPLICATION NO. DA	ΓE
PI	WO	9709311	A1	19970313		WO 1996-EP3803 19:	960829
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CH 1995-2548

A 19950907

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				US	1999-255185	AJ	.19990222

OS MARPAT 126:277402 GI

AB New piperidine and azabicyclooctane derivs. (> 1000 compds.) are renin inhibitors for treatment of high blood pressure, heart and kidney insufficiency. Thus, the piperidine deriv. I was prepd. from l-benzyl-3-propyl-4-piperidinone by reaction with 4-FC6H4Br, followed by l-benzyloxy-3-chloromethylnaphthalene and deblocking. I had a renin-inhibiting IC50 of 0.317 .mu.M.